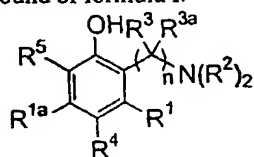


WHAT IS CLAIMED IS:

1. A compound of formula I:



5 wherein,

R⁵ is hydrogen;

10 R^{1a} and R¹ independently are C₁₋₆ alkyl, halo, C₁₋₆ alkoxy, C₃₋₁₀ cycloalkyl, C₆₋₁₀ aryl, and trihalovinyl, said aryl optionally substituted with 1-3 groups of R^a;

15 R² is hydrogen, C₁₋₆ alkyl, and C₃₋₁₀ cycloalkyl; taken together with any intervening atoms can form a 3 to 7 membered carbocyclic or heterocyclic ring saturated or unsaturated, said heterocyclic ring containing 1-2 heteroatoms independently chosen from O, C(O), S, SO, SO₂, N, or NR^{2a} and optionally substituted by 1-3 R^a groups;

R^{2a} is hydrogen, and C₁₋₆ alkyl;

20 R³ and R^{3a} are independently hydrogen, halo, C₁₋₆ alkyl, C₃₋₁₀ cycloalkyl, and C₆₋₁₀ aryl, said aryl and alkyl optionally substituted with 1-3 groups of R^a; or

25 R³ and R^{3a} taken together with any intervening atoms can form a 3 to 7 membered carbocyclic or heterocyclic ring saturated or unsaturated, said heterocyclic ring containing 1-2 heteroatoms independently chosen from O, C(O), S, SO, SO₂, N, or NR^{2a} and optionally substituted by 1-3 R^a groups;

R⁴ is hydrogen, halo, C₁₋₆ alkyl, and trihaloalkyl;

30 R^a represents C₁₋₆ alkoxy, C₁₋₆ alkyl, CF₃, nitro, amino, cyano, C₁₋₆ alkylamino, or halogen; and

n represents 1-3;

or a pharmaceutically acceptable salt, enantiomer, or diastereomer thereof.

5

2. A compound according to claim 1 wherein R^{1a} and R^1 independently are tert-butyl, 1,2,2-trichlorovinyl, or phenyl.

10 3. A compound according to claim 1 wherein R^2 is hydrogen or C_{1-4} alkyl, and n is 1.

4. A compound according to claim 1 wherein R^{1a} and R^1 independently are tert-butyl, 1,2,2-trichlorovinyl, or phenyl; R^2 is hydrogen or C_{1-4} alkyl, and n is 1.

15

5. A compound according to claim 4 wherein R^{1a} and R^1 are tert-butyl, and R^2 is hydrogen.

6. A compound which is:

- 20 2-aminomethyl-5-tert-butyl-3-phenylphenol,
2-aminomethyl-5-tert-butyl-3-(4-methylphenyl)phenol,
3,5-di-tert-butyl-2-[(ethylamino)methyl]phenol,
3,5-di-tert-butyl-2-[1-(ethylamino)ethyl]phenol,
3,5-di-tert-butyl-2-[(methylamino)methyl]phenol,
25 3,5-bis(trichlorovinyl)-2-[(ethylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(propylamino)methyl]phenol,
2-[(ethylamino)methyl]-5-(trichlorovinyl)phenol,
3,5-di-tert-butyl-2-[(butylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(cyclohexylamino)methyl]phenol,
30 3,5-di-tert-butyl-2-[(hexylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(octylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(2-hydroxyethylamino)methyl]phenol,
tert-butyl N-(2,4-di-tert-butyl-6-hydroxybenzyl)-beta-alaninate,
3,5-di-tert-butyl-2-[(2-dimethylaminoethylamino)methyl]phenol,
35 3,5-di-tert-butyl-2-[(3-phenylpropylamino)methyl]phenol,

- 3,5-di-tert-butyl-2-[(allylamino)methyl]phenol,
5-tert-butyl-2-[(ethylamino)methyl]phenol,
2-[(benzylamino)methyl]-5-tert-butylphenol,
5-tert-butyl-2-[(cyclohexylamino)methyl]phenol,
5 2-[(cyclohexylamino)methyl]-5-(trichlorovinyl)phenol,
5-tert-butyl-2-[(2-phenylethyl)amino]methyl}phenol,
2-[(benzylamino)methyl]-5-(trichlorovinyl)phenol,
3,5-di-tert-butyl-2-[(decylamino)methyl]phenol,
2-[(propylamino)methyl]-5-(trichlorovinyl)phenol,
10 5-tert-butyl-2-[(propylamino)methyl]phenol,
5-tert-butyl-2-[(cyclopropylamino)methyl]phenol,
5-tert-butyl-2-[(1,2,3,4-tetrahydronaphthalen-1-ylamino)methyl]phenol,
2-[(cyclopropylamino)methyl]-5-(trichlorovinyl)phenol,
2-[(isopropylamino)methyl]-5-(trichlorovinyl)phenol,
15 2-[(1,2,3,4-tetrahydronaphthalen-1-ylamino)methyl]-5-(trichlorovinyl)phenol,
2-[(2,3-dihydro-1H-inden-1-ylamino)methyl]-5-(trichlorovinyl)phenol,
3,5-di-tert-butyl-2-[(1R)-1-phenylethyl]amino}methyl}phenol,
3,5-di-tert-butyl-2-[(1-naphthylmethyl)amino]methyl}phenol,
3,5-di-tert-butyl-2-[(2-naphthylmethyl)amino]methyl}phenol,
20 2-[(tert-butylamino)methyl]-5-(trichlorovinyl)phenol,
3,5-di-tert-butyl-2-[(1,2,3,4-tetrahydronaphthalen-2-ylamino)methyl]phenol,
2-[(cyclohexylamino)methyl]-3-(trichlorovinyl)phenol,
3,5-di-tert-butyl-2-[(2,3-dihydro-1H-inden-2-ylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(1R)-2,3-dihydro-1H-inden-1-ylamino]methyl}phenol,
25 3,5-di-tert-butyl-2-[(1S)-2,3-dihydro-1H-inden-1-ylamino]methyl}phenol,
5-tert-butyl-2-[(2,3-dihydro-1H-inden-2-ylamino)methyl]phenol,
5-tert-butyl-2-[(4-methoxybenzyl)amino]methyl}phenol,
5-tert-butyl-2-[(neopentylamino)methyl]phenol,
5-tert-butyl-2-[(3,3-dimethylbutyl)amino]methyl}phenol,
30 5-tert-butyl-2-[2-(cyclohexylamino)ethyl]phenol,
5-tert-butyl-2-[(2,3-dihydro-1H-inden-1-ylamino)methyl]phenol,
5-tert-butyl-2-[(isopropylamino)methyl]phenol,
3,5-di-tert-butyl-2-[(decahydronaphthalen-2-ylamino)methyl]phenol,
5-tert-butyl-2-[(isopentylamino)methyl]phenol,
35 5-tert-butyl-2-[(isobutylamino)methyl]phenol,

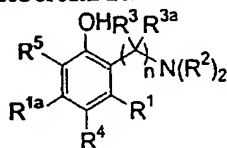
- 5-*tert*-butyl-2-(piperidin-1-ylmethyl)phenol,
 3,5-di-*tert*-butyl-2-[[2-pyridin-2-ylethylamino]methyl]phenol,
 3,5-di-*tert*-butyl-2-[[2-pyridin-3-ylethylamino]methyl]phenol,
 3,5-di-*tert*-butyl-2-[[2-pyridin-4-ylethylamino]methyl]phenol,
 5 3,5-di-*tert*-butyl-2-[(tetrahydro-2H-pyran-4-ylamino)methyl]phenol,
 3,5-di-*tert*-butyl-2-[(cyclohexylmethylamino)methyl]phenol,
 2-(aminomethyl)-3,5-di-*tert*-butylphenol,
 5-*tert*-butyl-2-[[3-methoxybenzylamino]methyl]phenol,
 2-(aminomethyl)-4-bromo-5-*tert*-butylphenol,
 10 ethyl N-(2,4-ditert-butyl-6-hydroxybenzyl)-beta-alaninate,
 3-*tert*-butyl-2-(piperidin-1-ylmethyl)phenol,
 3-*tert*-butyl-2-[(cyclohexylamino)methyl]phenol,
 2-[2-(cyclohexylamino)ethyl]-5-(trichlorovinyl)phenol,
 3-*tert*-butyl-2-[2-(cyclohexylamino)ethyl]phenol,
 15 3,5-di-*tert*-butyl-2-[[2-(tetrahydro-2H-pyran-2-ylmethylamino)methyl]phenol,
 3,5-di-*tert*-butyl-2-[2-(cyclohexylamino)ethyl]phenol,
 3,5-di-*tert*-butyl-2-[[3-furylmethylamino]methyl]phenol,
 2-[2-(benzylamino)ethyl]-3,5-ditert-butylphenol,
 2-(Aminomethyl)-3-*tert*-butyl-5-methylphenol,
 20 3-(Aminomethyl)-4,6-di-*tert*-butyl-4'-chlorobiphenyl-2-ol,
 4,6-Di-*tert*-butyl-3-[(*tert*-butylamino)methyl]-4'-chlorobiphenyl-2-ol,
 4,6-di-*Tert*-butyl-3-[(butylamino)methyl]-4'-chlorobiphenyl-2-ol,
 4,6-Di-*tert*-butyl-4'-chloro-3-[(dimethylamino)methyl]biphenyl-2-ol,
 4,6-Di-*tert*-butyl-4'-chloro-3-[(diethylamino)methyl]biphenyl-2-ol,
 25 5-*Tert*-butyl-2-[2-(dimethylamino)ethyl]phenol,
 5-*Tert*-butyl-2-[2-(methylamino)ethyl]phenol,
 2-(2-Aminoethyl)-3,5-di-*tert*-butylphenol,
 2-(Aminomethyl)-5-*tert*-butyl-3-methylphenol,
 2-(Aminomethyl)-3,5-bis(trichlorovinyl)phenol,
 30 2-(Aminomethyl)-5-(trichlorovinyl)phenol,
 3,5-Di-*tert*-butyl-2-[[1,4-dioxan-2-ylmethylamino]methyl]phenol,
 3,5-di-*tert*-butyl-2-[[1,1-dioxidotetrahydro-3-thienylmethylamino]methyl]phenol,
 5-[[2,4-di-*tert*-butyl-6-hydroxybenzylamino]methyl]-2,4-dihydro-3*H*-1,2,4-triazol-
 3-one,
 35 2-(1-Aminoethyl)-3,5-di-*tert*-butylphenol,

- 2-(1-Aminoethyl)-3,5-di-*tert*-butylphenol,
 3,5-Di-*tert*-butyl-2-[1-(ethylamino)ethyl]phenol,
 3,5-Di-*tert*-butyl-2-[(propylamino)methyl]phenol,
 3,5-Di-*tert*-butyl-2-[[pyrazin-2-ylmethyl]amino]methyl]phenol,
 5 2-(aminomethyl)-3,5-di-*tert*-butylphenol hydrochloride,
 2-Aminomethyl-5-*tert*-butylphenol hydrochloride,
 or pharmaceutically acceptable salts thereof.

7. A composition comprising a compound of claim 1 and a
 10 pharmaceutically acceptable salt thereof.

8. A composition comprising a compound of claim 6 and a
 pharmaceutically acceptable salt thereof.

9. A method for the treatment of malaria which comprises
 15 administering to a patient in need of such treatment a compound of formula I:



wherein,

R⁵ is hydrogen;

R^{1a} and R¹ independently are C₁₋₆ alkyl, halo, C₁₋₆ alkoxy, C₃₋₁₀ cycloalkyl, C₆₋₁₀ aryl, and trihalovinyl, said aryl optionally substituted with 1-3 groups of R^a;

R² is hydrogen, C₁₋₆ alkyl, and C₃₋₁₀ cycloalkyl; taken together with any intervening atoms can form a 3 to 7 membered carbocyclic or heterocyclic ring saturated or unsaturated, said heterocyclic ring containing 1-2 heteroatoms independently chosen from O, C(O), S, SO, SO₂, N, or NR^{2a} and optionally substituted by 1-3 R^a groups;

R³ and R^{3a} are independently hydrogen, halo, C₁₋₆ alkyl, C₃₋₁₀ cycloalkyl, and C₆₋₁₀ aryl, said aryl and alkyl optionally substituted with 1-3 groups of R^a; or

5 R³ and R^{3a} taken together with any intervening atoms can form a 3 to 7 membered carbocyclic or heterocyclic ring saturated or unsaturated, said heterocyclic ring containing 1-2 heteroatoms independently chosen from O, C(O), S, SO, SO₂, N, or NR^{2a} and optionally substituted by 1-3 R^a groups;

10 R⁴ is hydrogen, halo, C₁₋₆ alkyl, and trihaloalkyl;

R^a represents C₁₋₆ alkoxy, C₁₋₆ alkyl, CF₃, nitro, amino, cyano, C₁₋₆ alkylamino, or halogen; and

15 n represents 1-3;
or a pharmaceutically acceptable salt, enantiomer, or diastereomer thereof.

10. A method according to claim 9 wherein the compound is :
- 2-aminomethyl-5-tert-butyl-3-phenylphenol,
 - 2-aminomethyl-5-tert-butyl-3-(4-methylphenyl)phenol,
 - 20 3,5-di-tert-butyl-2-[(ethylamino)methyl]phenol,
 - 3,5-di-tert-butyl-2-[1-(ethylamino)ethyl]phenol,
 - 3,5-di-tert-butyl-2-[(methylamino)methyl]phenol,
 - 3,5-bis(trichlorovinyl)-2-[(ethylamino)methyl]phenol,
 - 3,5-di-tert-butyl-2-[(propylamino)methyl]phenol,
 - 25 2-[(ethylamino)methyl]-5-(trichlorovinyl)phenol,
 - 3,5-di-tert-butyl-2-[(butylamino)methyl]phenol,
 - 3,5-di-tert-butyl-2-[(cyclohexylamino)methyl]phenol,
 - 3,5-di-tert-butyl-2-[(hexylamino)methyl]phenol,
 - 3,5-di-tert-butyl-2-[(octylamino)methyl]phenol,
 - 30 3,5-di-tert-butyl-2-[(2-hydroxyethylamino)methyl]phenol,
 - tert-butyl N-(2,4-di-tert-butyl-6-hydroxybenzyl)-beta-alaninate,
 - 3,5-di-tert-butyl-2-[(2-dimethylaminoethylamino)methyl]phenol,
 - 3,5-di-tert-butyl-2-[(3-phenylpropylamino)methyl]phenol,
 - 3,5-di-tert-butyl-2-[(2-phenylethylamino)methyl]phenol,

- 3,5-di-tert-butyl-2-[(allylamino)methyl]phenol,
 5-tert-butyl-2-[(ethylamino)methyl]phenol,
 2-[(benzylamino)methyl]-5-tert-butylphenol,
 5-tert-butyl-2-[(cyclohexylamino)methyl]phenol,
 5 2-[(cyclohexylamino)methyl]-5-(trichlorovinyl)phenol,
 5-tert-butyl-2-{[(2-phenylethyl)amino]methyl}phenol,
 2-[(benzylamino)methyl]-5-(trichlorovinyl)phenol,
 3,5-di-tert-butyl-2-[(decylamino)methyl]phenol,
 2-[(propylamino)methyl]-5-(trichlorovinyl)phenol,
 10 5-tert-butyl-2-[(propylamino)methyl]phenol,
 5-tert-butyl-2-[(cyclopropylamino)methyl]phenol,
 5-tert-butyl-2-[(1,2,3,4-tetrahydronaphthalen-1-ylamino)methyl]phenol,
 2-[(cyclopropylamino)methyl]-5-(trichlorovinyl)phenol,
 2-[(isopropylamino)methyl]-5-(trichlorovinyl)phenol,
 15 2-[(1,2,3,4-tetrahydronaphthalen-1-ylamino)methyl]-5-(trichlorovinyl)phenol,
 2-[(2,3-dihydro-1H-inden-1-ylamino)methyl]-5-(trichlorovinyl)phenol,
 3,5-di-tert-butyl-2-({[(1R)-1-phenylethyl]amino}methyl)phenol,
 3,5-di-tert-butyl-2-({[(1-naphthyl)methyl]amino}methyl)phenol,
 3,5-di-tert-butyl-2-({[(2-naphthyl)methyl]amino}methyl)phenol,
 20 2-[(tert-butylamino)methyl]-5-(trichlorovinyl)phenol,
 3,5-di-tert-butyl-2-[(1,2,3,4-tetrahydronaphthalen-2-ylamino)methyl]phenol,
 2-[(cyclohexylamino)methyl]-3-(trichlorovinyl)phenol,
 3,5-di-tert-butyl-2-[(2,3-dihydro-1H-inden-2-ylamino)methyl]phenol,
 3,5-di-tert-butyl-2-({[(1R)-2,3-dihydro-1H-inden-1-ylamino]methyl}phenol,
 25 3,5-di-tert-butyl-2-({[(1S)-2,3-dihydro-1H-inden-1-ylamino]methyl}phenol,
 5-tert-butyl-2-[(2,3-dihydro-1H-inden-2-ylamino)methyl]phenol,
 5-tert-butyl-2-({[(4-methoxybenzyl)amino]methyl}phenol,
 5-tert-butyl-2-[(neopentylamino)methyl]phenol,
 5-tert-butyl-2-({[(3,3-dimethylbutyl)amino]methyl}phenol,
 30 5-tert-butyl-2-[2-(cyclohexylamino)ethyl]phenol,
 5-tert-butyl-2-[(2,3-dihydro-1H-inden-1-ylamino)methyl]phenol,
 5-tert-butyl-2-[(isopropylamino)methyl]phenol,
 3,5-di-tert-butyl-2-[(decahydronaphthalen-2-ylamino)methyl]phenol,
 5-tert-butyl-2-[(isopentylamino)methyl]phenol,
 35 5-tert-butyl-2-[(isobutylamino)methyl]phenol,

- 5-*tert*-butyl-2-(piperidin-1-ylmethyl)phenol,
 3,5-di-*tert*-butyl-2-[[2-pyridin-2-ylethylamino]methyl]phenol,
 3,5-di-*tert*-butyl-2-[[2-pyridin-3-ylethylamino]methyl]phenol,
 3,5-di-*tert*-butyl-2-[[2-pyridin-4-ylethylamino]methyl]phenol,
 5 3,5-di-*tert*-butyl-2-[(tetrahydro-2H-pyran-4-ylamino)methyl]phenol,
 3,5-di-*tert*-butyl-2-[(cyclohexylmethylamino)methyl]phenol,
 2-(aminomethyl)-3,5-di-*tert*-butylphenol,
 5-*tert*-butyl-2-[[3-methoxybenzylamino]methyl]phenol,
 2-(aminomethyl)-4-bromo-5-*tert*-butylphenol,
 10 ethyl N-(2,4-ditert-butyl-6-hydroxybenzyl)-beta-alaninate,
 3-*tert*-butyl-2-(piperidin-1-ylmethyl)phenol,
 3-*tert*-butyl-2-[(cyclohexylamino)methyl]phenol,
 2-[2-(cyclohexylamino)ethyl]-5-(trichlorovinyl)phenol,
 3-*tert*-butyl-2-[2-(cyclohexylamino)ethyl]phenol,
 15 3,5-di-*tert*-butyl-2-[[2-(tetrahydro-2H-pyran-2-ylmethylamino)methyl]phenol,
 3,5-di-*tert*-butyl-2-[2-(cyclohexylamino)ethyl]phenol,
 3,5-di-*tert*-butyl-2-[[3-furylmethylamino]methyl]phenol,
 2-[2-(benzylamino)ethyl]-3,5-ditert-butylphenol,
 2-(Aminomethyl)-3-*tert*-butyl-5-methylphenol,
 20 3-(Aminomethyl)-4,6-di-*tert*-butyl-4'-chlorobiphenyl-2-ol,
 4,6-Di-*tert*-butyl-3-[(*tert*-butylamino)methyl]-4'-chlorobiphenyl-2-ol,
 4,6-di-*Tert*-butyl-3-[(butylamino)methyl]-4'-chlorobiphenyl-2-ol,
 4,6-Di-*tert*-butyl-4'-chloro-3-[(dimethylamino)methyl]biphenyl-2-ol,
 4,6-Di-*tert*-butyl-4'-chloro-3-[(diethylamino)methyl]biphenyl-2-ol,
 25 5-*Tert*-butyl-2-[2-(dimethylamino)ethyl]phenol,
 5-*Tert*-butyl-2-[2-(methylamino)ethyl]phenol,
 2-(2-Aminoethyl)-3,5-di-*tert*-butylphenol,
 2-(Aminomethyl)-5-*tert*-butyl-3-methylphenol,
 2-(Aminomethyl)-3,5-bis(trichlorovinyl)phenol,
 30 2-(Aminomethyl)-5-(trichlorovinyl)phenol,
 3,5-Di-*tert*-butyl-2-[[1,4-dioxan-2-ylmethylamino]methyl]phenol,
 3,5-di-*tert*-butyl-2-[[1,1-dioxidotetrahydro-3-thienylmethylamino]methyl]phenol,
 5-[[2,4-di-*tert*-butyl-6-hydroxybenzylamino]methyl]-2,4-dihydro-3*H*-1,2,4-triazol-
 3-one,
 35 2-(1-Aminoethyl)-3,5-di-*tert*-butylphenol,

- 3,5-Di-*tert*-butyl-2-[1-(ethylamino)ethyl]phenol,
3,5-Di-*tert*-butyl-2-[(propylamino)methyl]phenol,
3,5-Di-*tert*-butyl-2-[[pyrazin-2-ylmethyl]amino]methyl}phenol,
2-(aminomethyl)-3,5-di-*tert*-butylphenol hydrochloride,
5 2-Aminomethyl-5-*tert*-butylphenol hydrochloride,
and pharmaceutically acceptable salts thereof.

11. A method according to claim 9 wherein a second anti-malarial agent is administered said second anti-malarial agent selected from the group
10 consisting of Chloroquine, Fansidar, Amodiaquine, Quinine, Halofantrine, Mefloquine, Artemether/Artesunate and Malarone.